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A summary of the papers in this month's issue.

Solid-phase synthesis

- 2-Arylaminobenzimidazoles have been prepared on MBHA resin in three steps using a TFA- or gaseous HF-catalysed release step (Krchnák *et al.*, *Tetrahedron Lett.*, 2001, *42(9)*, 1627-1630).
- A general route has been described for the preparation of polymer-supported *N*-tosyl aminoalcohols which have been used as chiral auxiliaries (Altava *et al.*, *Tetrahedron Lett.*, 2001, *42(9)*, 1673-1675).
- A solid-phase route to diverse 1,3*N*-disubstituted 2-thioxoquinazoline-4-ones using an aromatic nucleophilic substitution as a key step have been described (Makino *et al.*, *Tetrahedron Lett.*, 2001, *42(9)*, 1749-1752).
- Disubstituted guanidines have been prepared on solid-phase using Rink amide resin as an amine component reacting with aromatic isocyanates and aliphatic amines (Li *et al.*, *Tetrahedron Lett.*, 2001, *42(12)*, 2273-2275).
- Tetra-N-butylammonium fluoride has been employed as a mild and efficient reagent for the preparation of 3,5-disubstituted 1,2,4oxadiazoles on solid support (Rice and Nuss, *Bioorg. Med. Chem. Lett.*, 2001, *11(6)*, 753-755).
- The Sonagashira cross-coupling reaction of alkynes and aryl/vinyl halides has been systematically investigated on a silyl linker attached to high-loading polystyrene (Liao *et al.*, *Tetrahedron Lett.*, 2001, *42(10)*, 1815-1818).
- Optically-active derivatives of vesamicol have been prepared using Zincke coupling of resin-bound amino ethers (Eda and Kurth, *Tetrahedron Lett.*, 2001, *42(11)*, 2063-2068).
- Unnatural amino amides and peptides amides can be prepared from glycine via an activated benzophenone imine derivative attached to Rink resin (Scott *et al.*, *Tetrahedron Lett.*, 2001, *42(11)*, 2073-2076).
- Rigid phenylacetylene dendrimers have been prepared using an iterative divergent/convergent solid-phase supported approach (Chi *et al.*, *Tetrahedron Lett.*, 2001, *42(11)*, 2181-2184).
- Benzothiazolyl compounds have been prepared from 2-aminobenzenethiol attached to trityl-type resins. Acylation, cleavage from the resin under acidic conditions and cyclisation gave the required products (Mourtas *et al.*, *Tetrahedron Lett.*, 2001, *42(11)*, 2201-2204).
- An improved synthesis of new molecular platforms, related to the marine cyclopeptides such as the dolostatins and dendroamides, functionalised for use in combinatorial chemistry has been described (Somogyi *et al.*, *Tetrahedron*, 2001, *57(9)*, 1699-1708).

Solution-phase synthesis

- Fluoroquinolone antibacterial agents have been prepared in solution using polymer supported reagents and no chromatography was required to generate high purity products (Hilty *et al.*, *Tetrahedron Lett.*, 2001, *42(9)*, 1645-1646).

Novel resins and linkers

- A *J*anda*J*el™ resin in conjunction with the highly acid-labile Rink linker has been used for the solid-phase preparation of oligoesters (Brümmer *et al*., *Tetrahedron Lett.*, 2001, *42(12)*, 2257-2259).
- Rink resin has been converted to an isonitrile resin following formylation with HCO_2H/diisopropylcarbodiimide and then employed in an multi-component synthesis of imidazo[1,2-a]pyridines (Chen *et al*., *Tetrahedron Lett.*, 2001, *42(12)*, 2269-2271).
- 2-Polystyrylsulfonylethanol resin has been developed as a novel support for the syntheses of hydantoins and ureas (Huang *et al*., *Tetrahedron Lett.*, 2001, *42(10)*, 1973-1974).
- The Dde-derived carboxylic acid protecting group has been developed into a linker for the solid-phase synthesis of various peptides including human angiotensin II (Chhabra *et al*., *Tetrahedron Lett.*, 2001, *42(11)*, 2189-2192).

Library applications

- The structure-activity relationship of the human EP_3 prostanoid receptor has been revealed by the screening of a library of compounds generated on solid-phase using a Suzuki coupling reaction of a solid-supported benzyl bromide with various boronic acids (Juteau *et al*., *Bioorg. Med. Chem. Lett.*, 2001, *11(5)*, 747-749).
- Aspartic acid protease transition-state inhibitors have been generated on solid-phase. Resin-bound *N*-acylated amino acid aldehydes have been converted in a single step to α-hydroxy phosphonates and in six steps to hydroxystatine amides (Dolle *et al*., *Tetrahedron Lett.*, 2001, *42(10)*, 1855-1858).
- Libraries of RGD mimics has been prepared by the solid-phase macrocyclisation using the Heck reaction and tested as potential GPIIb/IIIa ligands (Akaji *et al.*, *Tetrahedron*, 2001, *57(12)*, 2293-2303).
- A combinatorial library of 126 mimetics of the RGD sequence based on sugar scaffolds has been constructed using molecular modelling and synthesised in solution phase (Moitessier *et al*., *Bioorg. Med. Chem.*, 2001, *9(2)*, 511-523).